

# **STIC Search Report**

## **Biotech-Chem Library**

**STIC Database Tracking Number: 137674**

**TO: Deborah Lambkin**

**Location:**

**Art Unit: 1626**

**November 14, 2004**

**Case Serial Number: 10/618727**

**From: P. Sheppard**

**Location: Remsen Building**

**Phone: (571) 272-2529**

**sheppard@uspto.gov**

### **Search Notes**

Access DB# 137674

# SEARCH REQUEST FORM

Scientific and Technical Information Center

Requester's Full Name: Deborah Lombida Examiner #: 71300 Date: 11/19/04  
Art Unit: 1626 Phone Number 302-0678 Serial Number: 10/618,727  
Mail Box and Bldg/Room Location: Rm. 5809 Results Format Preferred (circle): PAPER DISK E-MAIL

If more than one search is submitted, please prioritize searches in order of need.  
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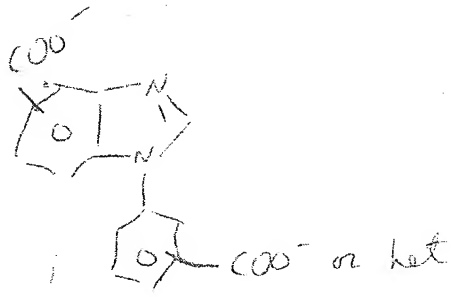
Please provide a detailed statement of the search topic, and describe as specifically as possible the subject matter to be searched. Include the elected species or structures, keywords, synonyms, acronyms, and registry numbers, and combine with the concept or utility of the invention. Define any terms that may have a special meaning. Give examples or relevant citations, authors, etc, if known. Please attach a copy of the cover sheet, pertinent claims, and abstract.

Title of Invention: Novel Benzimidazole Der.  
Inventors (please provide full names): Treiber et al

Earliest Priority Filing Date: \_\_\_\_\_

*\*For Sequence Searches Only\* Please include all pertinent information (parent, child, divisional, or issued patent numbers) along with the appropriate serial number.*

*Please just search the simplest compound which is*



*Thomas Des*  
~~*[Signature]*~~

\*\*\*\*\*

## STAFF USE ONLY

	Type of Search	Vendors and cost where applicable
Searcher: <u>Sheppard</u>	NA Sequence (#) _____	STN _____
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FILE 'HCAPLUS' ENTERED AT 09:58:56 ON 14 NOV 2004

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FILE COVERS 1907 - 14 Nov 2004 VOL 141 ISS 21

FILE LAST UPDATED: 12 Nov 2004 (20041112/ED)

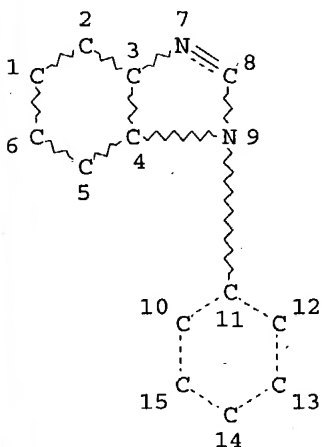
This file contains CAS Registry Numbers for easy and accurate substance identification.

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L3 STR



## NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

## GRAPH ATTRIBUTES:

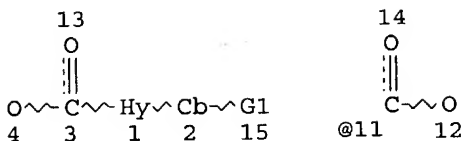
RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 15

## STEREO ATTRIBUTES: NONE

L5 9258 SEA FILE=REGISTRY SSS FUL L3

L13 STR



VAR G1=HY/11  
 NODE ATTRIBUTES:  
 DEFAULT MLEVEL IS ATOM  
 DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:  
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 NUMBER OF NODES IS 9

STEREO ATTRIBUTES: NONE  
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 L15 19 SEA FILE=HCAPLUS ABB=ON PLU=ON L14  
 L16 15 SEA FILE=HCAPLUS ABB=ON PLU=ON L15 AND PD=<JUNE 22, 2000

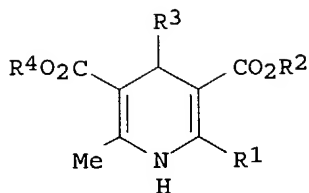
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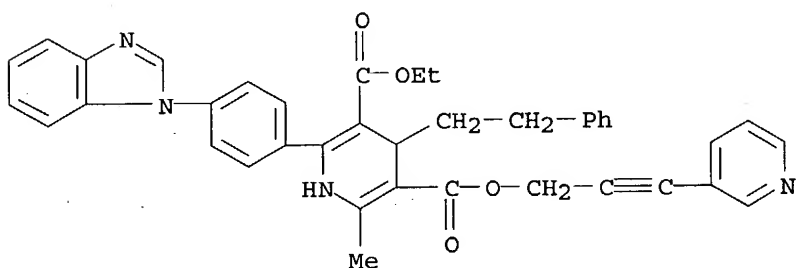
L16 ANSWER 1 OF 15 HCAPLUS COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 1999:529144 HCAPLUS  
 DOCUMENT NUMBER: 131:144517  
 TITLE: Preparation of 1,4-dihydropyridine derivatives as  
 antagonists against tolerance to anticancer drugs or  
 potentiators for anticancer drugs  
 INVENTOR(S): Tasaka, Shigeyuki; Kiue, Akira; Omori, Hiromasa;  
 Tanabe, Hirokazu; Gomi, Noriaki  
 PATENT ASSIGNEE(S): Nikken Chemicals Co., Ltd., Japan  
 SOURCE: PCT Int. Appl., 83 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9941250	A1	19990819	WO 1999-JP458	19990203 <--
W: CA, CN, KR, US				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
JP 2000044559	A2	20000215	JP 1999-21702	19990129 <--
CA 2320319	AA	19990819	CA 1999-2320319	19990203 <--
EP 1055672	A1	20001129	EP 1999-902821	19990203
R: CH, DE, ES, FR, GB, IT, LI				
US 6306853	B1	20011023	US 2000-622086	20000810
PRIORITY APPLN. INFO.:			JP 1998-42969	A 19980210
			JP 1998-198184	A 19980525
			WO 1999-JP458	W 19990203

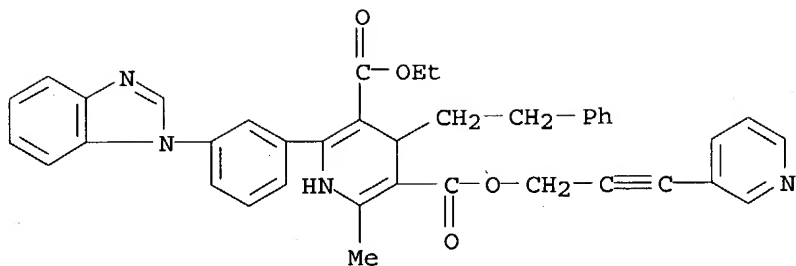
OTHER SOURCE(S): MARPAT 131:144517  
 GI



- AB 1,4-Dihydropyridine derivs. I (R1 = optionally substituted Ph or pyridyl; R2 = alkyl; R3 = optionally substituted alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl; R4 = AR5; A = alkynylene having a triple bond; R5 = optionally substituted pyridyl, quinolyl, isoquinolyl or pyrimidyl) and their pharmacol. acceptable salts or hydrates, useful as antagonists against tolerance to anticancer drugs or potentiators for anticancer drugs, were prepared. Thus, refluxing Et 4-(2-methylimidazo[4,5-c]pyridin-1-yl)benzoylacetate with 3-(3-pyridyl)-2-propynyl 3-aminocrotonate and acetaldehyde in EtOH for 6 h gave 55.0% 3-Et 5-[3-(3-pyridyl)-2-propynyl] 4,6-dimethyl-2-[4-(2-methylimidazo[4,5-c]pyridin-1-yl)phenyl]-1,4-dihydropyridine-3,5-dicarboxylate (II). II potentiated the antitumor activity of etoposide in mice. A tablet formulation containing II was given.
- IT 235417-10-4P 235417-11-5P 235417-23-9P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of dihydropyridine derivs. as antagonists against tolerance to anticancer drugs or potentiators for anticancer drugs)
- RN 235417-10-4 HCAPLUS  
 CN 3,5-Pyridinedicarboxylic acid, 2-[4-(1H-benzimidazol-1-yl)phenyl]-1,4-dihydro-6-methyl-4-(2-phenylethyl)-, 3-ethyl 5-[3-(3-pyridinyl)-2-propynyl] ester (9CI) (CA INDEX NAME)



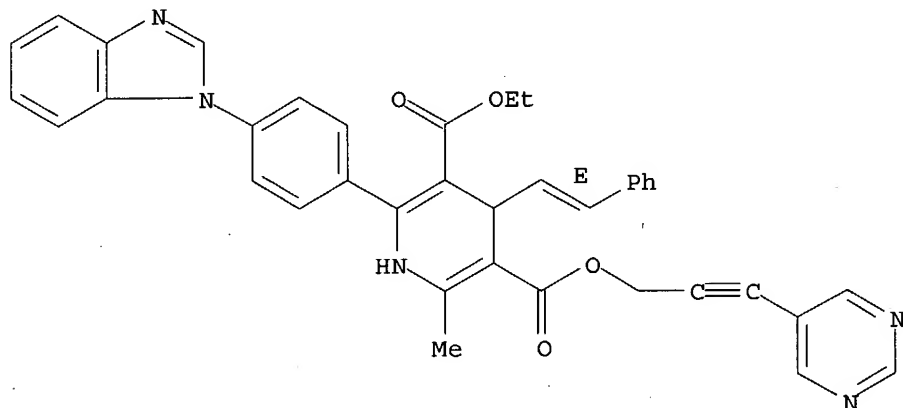
- RN 235417-11-5 HCAPLUS  
 CN 3,5-Pyridinedicarboxylic acid, 2-[3-(1H-benzimidazol-1-yl)phenyl]-1,4-dihydro-6-methyl-4-(2-phenylethyl)-, 3-ethyl 5-[3-(3-pyridinyl)-2-propynyl] ester (9CI) (CA INDEX NAME)



RN 235417-23-9 HCAPLUS

CN 3,5-Pyridinedicarboxylic acid, 2-[4-(1H-benzimidazol-1-yl)phenyl]-1,4-dihydro-6-methyl-4-[(1E)-2-phenylethenyl]-, 3-ethyl 5-[3-(5-pyrimidinyl)-2-propynyl] ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.



REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 2 OF 15 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1998:469902 HCAPLUS

DOCUMENT NUMBER: 129:189248

TITLE: Synthesis and cyclization of derivatives of 3-heterylhydrazino-2-polyfluorobenzoylacrylic acid  
AUTHOR(S): Lipunova, G. N.; Mokrushina, G. A.; Nosova, E. V.; Chasovskikh, O. M.; Rusinova, L. I.; Aleksandrov, G. G.

CORPORATE SOURCE: Ural State Technical University, Yekaterinburg, Russia  
SOURCE: Russian Journal of Organic Chemistry (Translation of Zhurnal Organicheskoi Khimii) (1997), 33(10), 1476-1486

CODEN: RJOCEQ; ISSN: 1070-4280

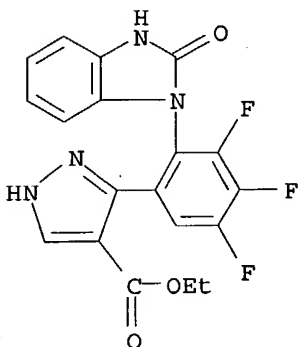
PUBLISHER: MAIK Nauka/Interperiodica Publishing

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Cyclization of Et esters of 3-heterylhydrazino-2-polyfluorobenzoylacrylic acid results either to 2-(5-polyfluorophenyl-4-ethoxycarbonylpyrazol-1-yl)benzazoles or 2-(4-polyfluorobenzoyl-5-ethoxypyrazol-1-yl)benzenes or, in the case of benzimidazolyl derivs. possessing NH fragment, to derivs. of benzimidazolo[1,2-a]pyrazolo[1,5-c]quinazoline, a new heterocyclic system.

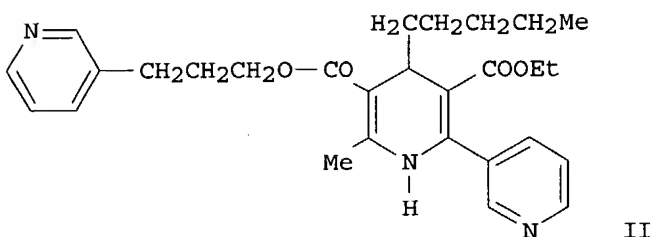
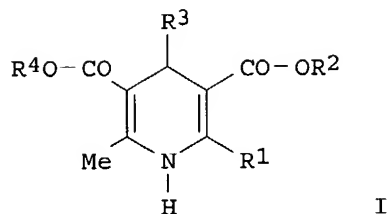
IT 211735-00-1P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation and cyclization of heterylhydrazinopolyfluorobenzoylacrylic  
 acids)  
 RN 211735-00-1 HCAPLUS  
 CN 1H-Pyrazole-4-carboxylic acid, 3-[2-(2,3-dihydro-2-oxo-1H-benzimidazol-1-  
 yl)-3,4,5-trifluorophenyl]-, ethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS  
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 3 OF 15 HCAPLUS COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 1998:388512 HCAPLUS  
 DOCUMENT NUMBER: 129:41082  
 TITLE: Preparation and formulation of 1,4-dihydropyridine  
 derivatives as carcinostatic tolerance inhibitors and  
 potentiators for carcinostatics  
 INVENTOR(S): Tasaka, Shigeyuki; Tanabe, Hirokazu; Omori, Hiromasa;  
 Kiue, Akira  
 PATENT ASSIGNEE(S): Nikken Chemicals Co., Ltd., Japan  
 SOURCE: PCT Int. Appl., 60 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9823607	A1	19980604	WO 1997-JP4287	19971125 <--
W: CA, US				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
JP 10204061	A2	19980804	JP 1997-323972	19971111 <--
CA 2272945	AA	19980604	CA 1997-2272945	19971125 <--
EP 943615	A1	19990922	EP 1997-913436	19971125 <--
R: CH, DE, FR, GB, IT, LI, SE				
PRIORITY APPLN. INFO.:			JP 1996-328010	A 19961125
			JP 1997-323972	A 19971111
			WO 1997-JP4287	W 19971125
OTHER SOURCE(S):			MARPAT 129:41082	
GI				



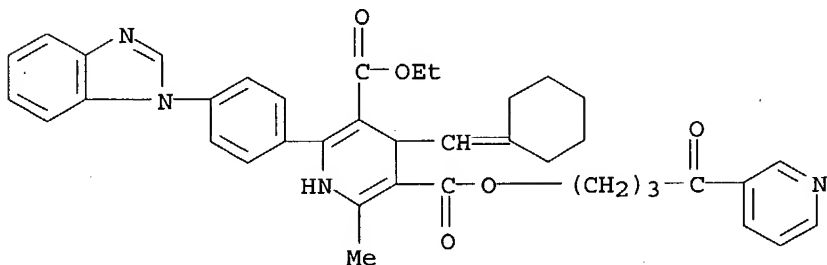
AB The title compds. I [R1 is optionally substituted Ph or heterocyclic group; R2 is C1-C5 lower alkyl; R3 is optionally substituted C2-C8 alkyl, alkenyl or alkynyl or optionally substituted cycloalkyl; R4 is AR5; A is C2-C8 alkylene or optionally substituted C2-C8 alkenylene; and R5 is optionally substituted pyridyl, pyridylcarbonyl or piperazinyl] are prepared. The average survival time of mice (with vincristine-resistant mouse leukemia) treated with vincristine (100 µg/kg/day i.p. for 5 days) and the title compound II (100 mg/kg/day i.p. for 5 days), was 16.2 days, vs. 11.3 days in controls treated with vincristine alone.

IT 208195-21-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of dihydropyridine derivs. as carcinostatic tolerance inhibitors and potentiators for carcinostatics)

RN 208195-21-5 HCAPLUS

CN 3,5-Pyridinedicarboxylic acid, 2-[4-(1H-benzimidazol-1-yl)phenyl]-4-(cyclohexylidenemethyl)-1,4-dihydro-6-methyl-, 3-ethyl 5-[4-oxo-4-(3-pyridinyl)butyl] ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

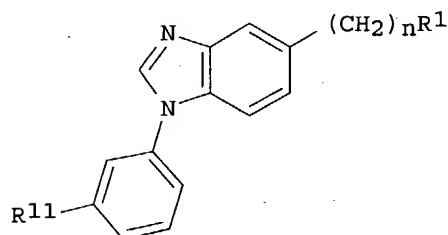
L16 ANSWER 4 OF 15 HCAPLUS COPYRIGHT 2004 ACS on STN  
ACCESSION NUMBER: 1998:268492 HCAPLUS



DOCUMENT NUMBER: 128:321644  
 TITLE: Preparation of 1-phenylbenzimidazole compounds and their use as GABAA receptor modulators  
 INVENTOR(S): Teuber, Lene; Watjen, Frank  
 PATENT ASSIGNEE(S): Neurosearch A/S, Den.; Teuber, Lene; Watjen, Frank  
 SOURCE: PCT Int. Appl., 89 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9817651	A1	19980430	WO 1997-DK462	19971021 <--
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TT, UA, UG, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2267114	AA	19980430	CA 1997-2267114	19971021 <--
AU 9746161	A1	19980515	AU 1997-46161	19971021 <--
AU 726447	B2	20001109		
EP 934281	A1	19990811	EP 1997-944749	19971021 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
CN 1234025	A	19991103	CN 1997-198988	19971021 <--
CN 1115336	B	20030723		
NZ 334868	A	20010223	NZ 1997-334868	19971021
JP 2001502675	T2	20010227	JP 1998-518852	19971021
RU 2194699	C2	20021220	RU 1999-110508	19971021
US 6218547	B1	20010417	US 1999-269643	19990331
US 6503925	B1	20030107	US 2001-768506	20010125
US 2003166638	A1	20030904	US 2002-299854	20021120
US 6710044	B2	20040323		
PRIORITY APPLN. INFO.:			DK 1996-1157	A 19961021
			WO 1997-DK462	W 19971021
			US 1999-269643	A3 19990331
			US 2001-768506	A3 20010125

OTHER SOURCE(S): MARPAT 128:321644  
 GI



AB Benzimidazoles I [n = 0, 1, 2, 3; R1 = alkyl, Ph group, monocyclic heterocyclic group, which groups may be substituted one or more times with substituents selected from alkyl, cycloalkyl, cycloalkylalkyl, alkoxy, halogen, trifluoromethyl, cyano, amino, nitro; or R1 = cyano group or a group of the formula -alkyl-CO2R2, alkenyl-CO2R2, -COR2, -CO2(CH2)mR2,

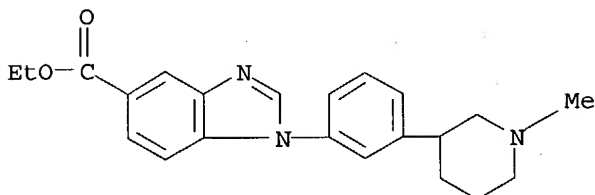
-C(R3):NOR2; R11 -CO2R9, etc.], useful in the treatment of central nervous system diseases and disorders, which are responsive to modulation of the GABAA receptor complex, such as for example anxiety, sleep disorders, anesthesia, memory disorders, and epilepsy or other convulsive disorders, were prepared E.g., reaction of iso-Pr 4-chloro-3-nitrobenzoate with 3-piperidinoaniline gave 54% iso-Pr 4-(3-piperidinoanilino)-3-nitrobenzoate. The latter was hydrogenated and the resulting diamine treated with formic acid to give 5-(isopropoxycarbonyl)-1-(3-piperidinophenyl)benzimidazole.

IT 206878-37-7P 206878-38-8P 206878-39-9P  
 206878-40-2P 206878-41-3P 206878-42-4P  
 206878-43-5P 206878-44-6P 206878-45-7P  
 206878-51-5P 206878-52-6P 206878-53-7P  
 206878-54-8P 206878-55-9P 206878-56-0P  
 206878-57-1P 206878-58-2P 206878-59-3P  
 206878-63-9P 206878-64-0P 206878-65-1P  
 206879-38-1P 206880-36-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of phenylbenzimidazoles and their use as GABAA receptor modulators)

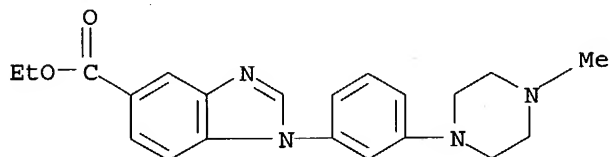
RN 206878-37-7 HCAPLUS

CN 1H-Benzimidazole-5-carboxylic acid, 1-[3-(1-methyl-3-piperidinyl)phenyl]-, ethyl ester (9CI) (CA INDEX NAME)



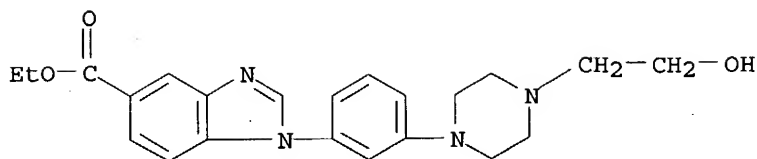
RN 206878-38-8 HCAPLUS

CN 1H-Benzimidazole-5-carboxylic acid, 1-[3-(4-methyl-1-piperazinyl)phenyl]-, ethyl ester (9CI) (CA INDEX NAME)



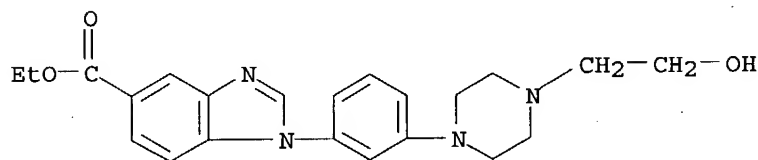
RN 206878-39-9 HCAPLUS

CN 1H-Benzimidazole-5-carboxylic acid, 1-[3-[4-(2-hydroxyethyl)-1-piperazinyl]phenyl]-, ethyl ester, hydrochloride (9CI) (CA INDEX NAME)

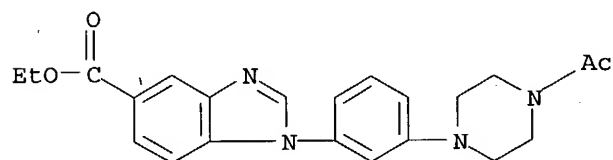


●x HCl

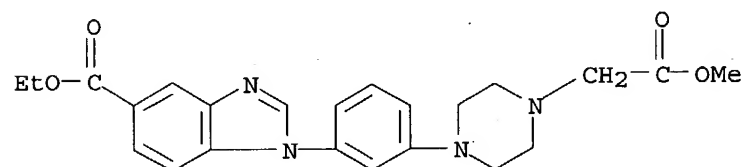
RN 206878-40-2 HCAPLUS  
CN 1H-Benzimidazole-5-carboxylic acid, 1-[3-[4-(2-hydroxyethyl)-1-piperazinyl]phenyl]-, ethyl ester (9CI) (CA INDEX NAME)



RN 206878-41-3 HCAPLUS  
CN 1H-Benzimidazole-5-carboxylic acid, 1-[3-(4-acetyl-1-piperazinyl)phenyl]-, ethyl ester (9CI) (CA INDEX NAME)

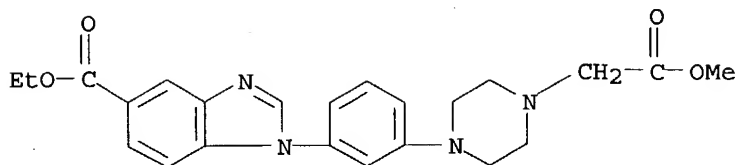


RN 206878-42-4 HCAPLUS  
CN 1H-Benzimidazole-5-carboxylic acid, 1-[3-[4-(2-methoxy-2-oxoethyl)-1-piperazinyl]phenyl]-, ethyl ester, hydrochloride (9CI) (CA INDEX NAME)



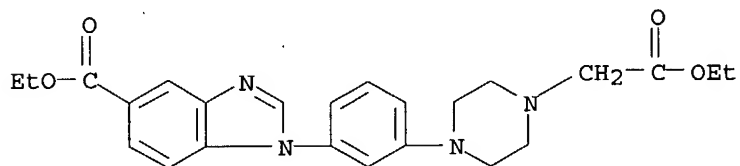
●x HCl

RN 206878-43-5 HCAPLUS  
CN 1H-Benzimidazole-5-carboxylic acid, 1-[3-[4-(2-methoxy-2-oxoethyl)-1-piperazinyl]phenyl]-, ethyl ester (9CI) (CA INDEX NAME)



RN 206878-44-6 HCAPLUS

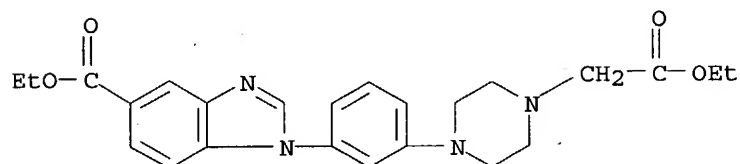
CN 1H-Benzimidazole-5-carboxylic acid, 1-[3-[4-(2-ethoxy-2-oxoethyl)-1-piperazinyl]phenyl]-, ethyl ester, hydrochloride (9CI) (CA INDEX NAME)



● x HCl

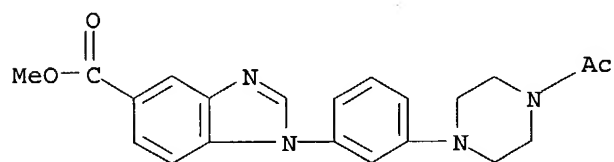
RN 206878-45-7 HCAPLUS

CN 1H-Benzimidazole-5-carboxylic acid, 1-[3-[4-(2-ethoxy-2-oxoethyl)-1-piperazinyl]phenyl]-, ethyl ester (9CI) (CA INDEX NAME)



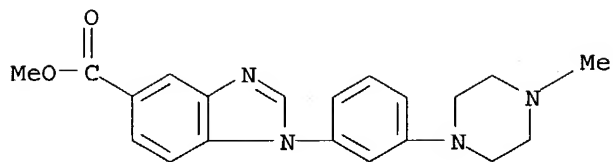
RN 206878-51-5 HCAPLUS

CN 1H-Benzimidazole-5-carboxylic acid, 1-[3-(4-acetyl-1-piperazinyl)phenyl]-, methyl ester (9CI) (CA INDEX NAME)



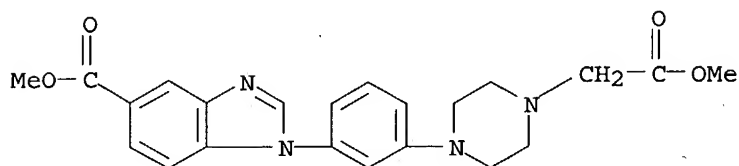
RN 206878-52-6 HCAPLUS

CN 1H-Benzimidazole-5-carboxylic acid, 1-[3-(4-methyl-1-piperazinyl)phenyl]-, methyl ester (9CI) (CA INDEX NAME)



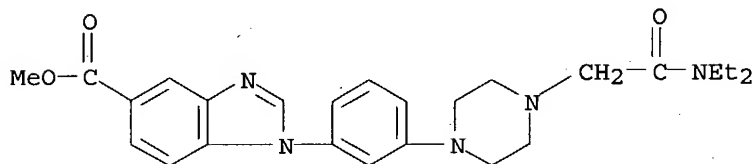
RN 206878-53-7 HCAPLUS

CN 1H-Benzimidazole-5-carboxylic acid, 1-[3-[4-(2-methoxy-2-oxoethyl)-1-piperazinyl]phenyl]-, methyl ester (9CI) (CA INDEX NAME)



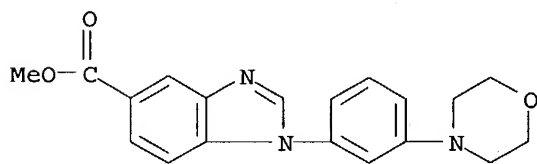
RN 206878-54-8 HCAPLUS

CN 1H-Benzimidazole-5-carboxylic acid, 1-[3-[4-[2-(diethylamino)-2-oxoethyl]-1-piperazinyl]phenyl]-, methyl ester (9CI) (CA INDEX NAME)



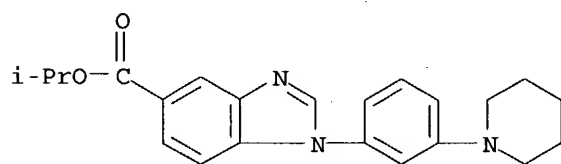
RN 206878-55-9 HCAPLUS

CN 1H-Benzimidazole-5-carboxylic acid, 1-[3-(4-morpholinyl)phenyl]-, methyl ester (9CI) (CA INDEX NAME)



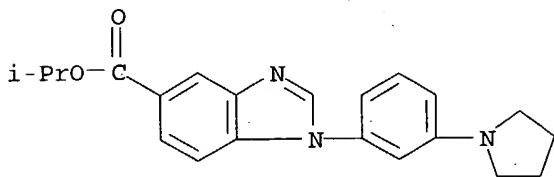
RN 206878-56-0 HCAPLUS

CN 1H-Benzimidazole-5-carboxylic acid, 1-[3-(1-piperidinyl)phenyl]-, 1-methylethyl ester (9CI) (CA INDEX NAME)

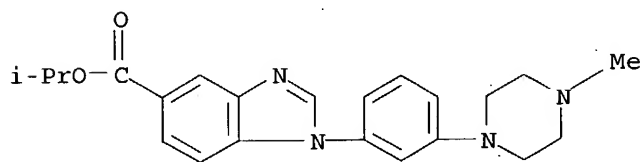


RN 206878-57-1 HCAPLUS

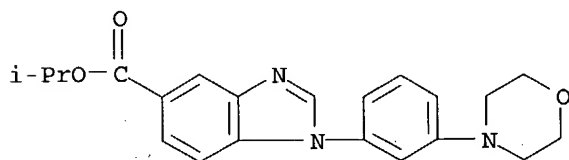
CN 1H-Benzimidazole-5-carboxylic acid, 1-[3-(1-pyrrolidinyl)phenyl]-,  
1-methylethyl ester (9CI) (CA INDEX NAME)



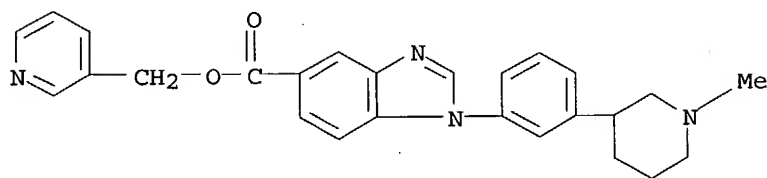
RN 206878-58-2 HCAPLUS  
CN 1H-Benzimidazole-5-carboxylic acid, 1-[3-(4-methyl-1-piperazinyl)phenyl]-,  
1-methylethyl ester (9CI) (CA INDEX NAME)



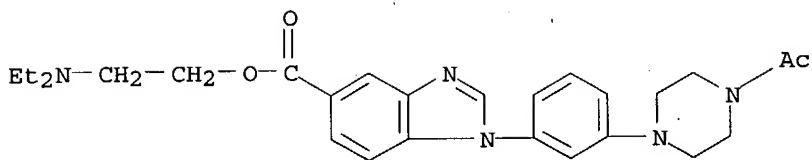
RN 206878-59-3 HCAPLUS  
CN 1H-Benzimidazole-5-carboxylic acid, 1-[3-(4-morpholinyl)phenyl]-,  
1-methylethyl ester (9CI) (CA INDEX NAME)



RN 206878-63-9 HCAPLUS  
CN 1H-Benzimidazole-5-carboxylic acid, 1-[3-(1-methyl-3-piperidiny)phenyl]-,  
3-pyridinylmethyl ester (9CI) (CA INDEX NAME)

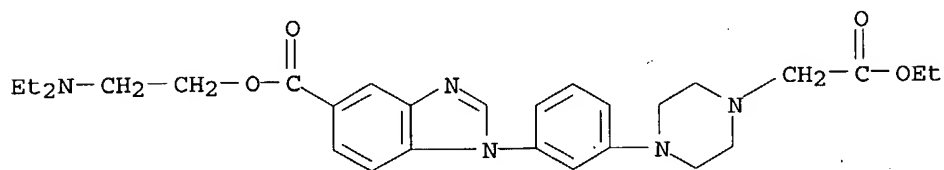


RN 206878-64-0 HCAPLUS  
CN 1H-Benzimidazole-5-carboxylic acid, 1-[3-(4-acetyl-1-piperazinyl)phenyl]-,  
2-(diethylamino)ethyl ester (9CI) (CA INDEX NAME)



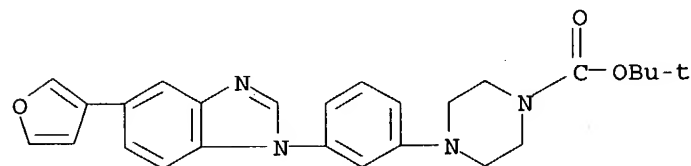
RN 206878-65-1 HCAPLUS

CN 1H-Benzimidazole-5-carboxylic acid, 1-[3-[4-(2-ethoxy-2-oxoethyl)-1-piperazinyl]phenyl]-, 2-(diethylamino)ethyl ester (9CI) (CA INDEX NAME)



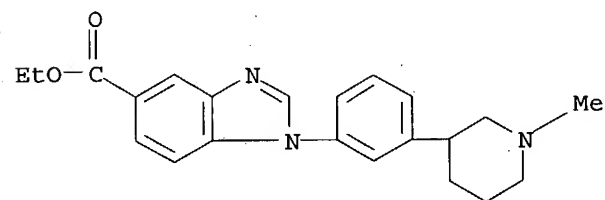
RN 206879-38-1 HCAPLUS

CN 1-Piperazinecarboxylic acid, 4-[3-[5-(3-furanyl)-1H-benzimidazol-1-yl]phenyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 206880-36-6 HCAPLUS

CN 1H-Benzimidazole-5-carboxylic acid, 1-[3-(1-methyl-3-piperidinyl)phenyl]-, ethyl ester, hydrochloride (9CI) (CA INDEX NAME)



●x HCl

REFERENCE COUNT:

5

THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 5 OF 15 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1996:580566 HCAPLUS

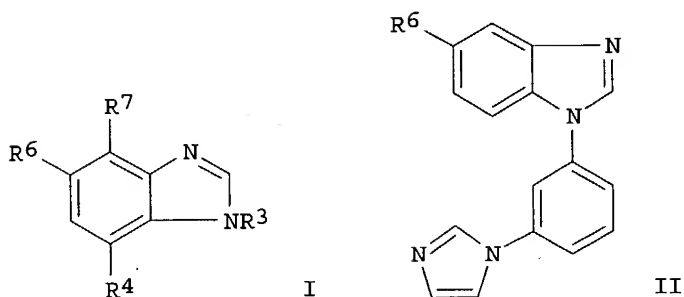
DOCUMENT NUMBER: 125:300997

TITLE: Benzimidazole compounds useful as benzodiazepine receptor ligands

INVENTOR(S): Teuber, Lene; Axelsson, Oskar; Watjen, Frank  
 PATENT ASSIGNEE(S): Neurosearch A/s, Den.; Meiji Seika Kaisha, Ltd.  
 SOURCE: U.S., 19 pp., Cont.-in-part of U.S. Ser. No. 207,774,  
 abandoned.  
 CODEN: USXXAM  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5554630	A	19960910	US 1995-410572	19950324 <--
ZA 9402079	A	19941024	ZA 1994-2079	19940324 <--
US 5554632	A	19960910	US 1994-352585	19941209 <--
PRIORITY APPLN. INFO.:			DK 1993-337	A 19930324
			DK 1993-1055	A 19930921
			US 1994-207774	B2 19940308

OTHER SOURCE(S): MARPAT 125:300997  
 GI



AB The invention discloses title compds. I [R3 = certain (un)substituted (hetero)aryl groups; R4 = H, NH2, NO2, cyano, halo, acylamino, (un)substituted aryl; or R4 forms bridges to aryl ring of R3; R6, R7 = H, halo, NH2, NO2, cyano, acylamino, CF3, (un)substituted aryl; or R6 and R7 form certain optionally heteroatom-containing bridges] and their pharmaceutically acceptable salts, as well as the medical use of a broader class of 1-arylbenzimidazoles, including I. The compds. are useful for the treatment of various central nervous system disorders such as epilepsy and other convulsive disorders, anxiety, sleep disorders, and memory disorders. For example, 2-amino-3'-iodo-4-(trifluoromethyl)diphenylamine (preparation given) underwent cyclocondensation with formic acid at reflux, and coupling with imidazole in the presence of K2CO3 and CuBr at 200°, to give title compound II [R6 = CF3]. In an in-vivo test for inhibition of [3H]-flunitrazepam specific binding to mouse forebrain GABAA receptors, II [R6 = CF3] had an ED50 of 7.3 mg/kg i.p., and II [R6 = Me] had an ED50 of 0.8 mg/kg i.p.

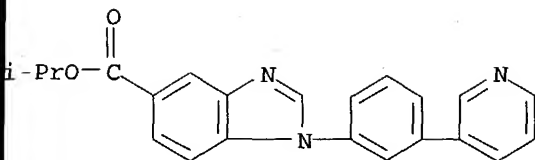
IT 159724-84-2P 159724-95-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
 (preparation of benzimidazole derivs. as benzodiazepine receptor ligands)

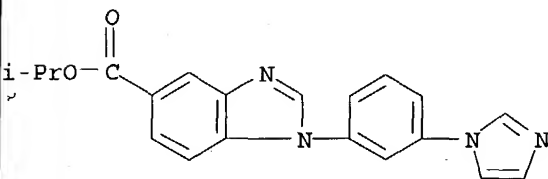
RN 159724-84-2 HCAPLUS

CN 1H-Benzimidazole-5-carboxylic acid, 1-[3-(3-pyridinyl)phenyl]-,  
 1-methylethyl ester (9CI) (CA INDEX NAME)



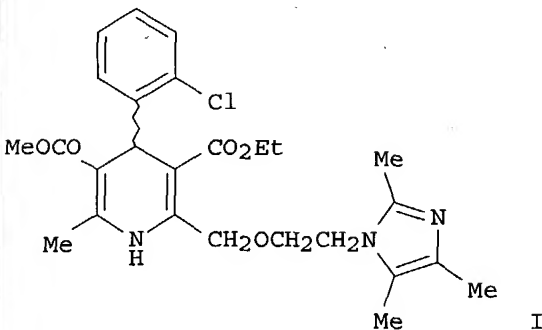


RN 159724-95-5 HCAPLUS  
 CN 1H-Benzimidazole-5-carboxylic acid, 1-[3-(1H-imidazol-1-yl)phenyl]-,  
 1-methylethyl ester (9CI) (CA INDEX NAME)



L16 ANSWER 6 OF 15 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1996:10657 HCAPLUS  
 DOCUMENT NUMBER: 124:219378  
 TITLE: Enantiodifferentiation of dihydropyridine PAF  
 antagonists  
 AUTHOR(S): Cooper, Kelvin; Fray, M. Jonathan; Parry, M. John;  
 Richardson, Kenneth; Steele, John  
 CORPORATE SOURCE: Pfizer Central Research, Kent, UK  
 SOURCE: Bioorganic & Medicinal Chemistry Letters (1995  
 ), 5(24), 3085-90  
 CODEN: BMCLE8; ISSN: 0960-894X  
 PUBLISHER: Elsevier  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 GI



AB The PAF antagonist activity of a series of enantiomeric dihydropyridines  
 is described. In the first example, (I), the PAF antagonist activity and  
 calcium channel blocking activity reside in opposite enantiomers.  
 Subsequent examples also display enantioselectivity and the SAR of the

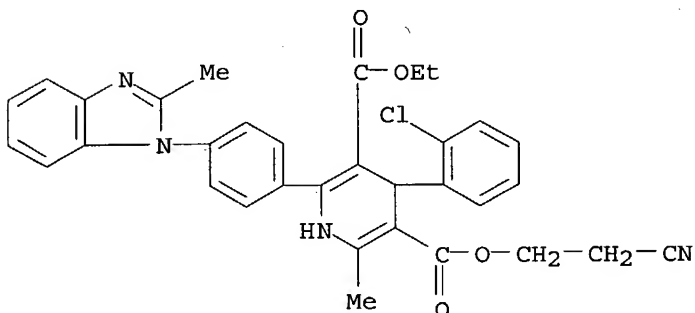
series is described.

IT 173481-39-5

RL: RCT (Reactant); RACT (Reactant or reagent)  
 (reactant; preparation and enantiodifferentiation of dihydropyridines as PAF antagonists)

RN 173481-39-5 HCAPLUS

CN 3,5-Pyridinedicarboxylic acid, 4-(2-chlorophenyl)-1,4-dihydro-2-methyl-6-[4-(2-methyl-1H-benzimidazol-1-yl)phenyl]-, 3-(2-cyanoethyl) 5-ethyl ester (9CI) (CA INDEX NAME)



L16 ANSWER 7 OF 15 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1995:252476 HCAPLUS

DOCUMENT NUMBER: 122:31527

TITLE: Preparation of benzimidazole derivatives for the treatment of central nervous system disorders.

INVENTOR(S): Axelsson, Oskar; Teuber, Lene; Watjen, Frank

PATENT ASSIGNEE(S): Neurosearch A/S, Den.; Meiji Seika Kaisha Ltd.

SOURCE: Eur. Pat. Appl., 35 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 616807	A1	19940928	EP 1994-610012	19940311 <--
EP 616807	B1	19980708		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
AU 9457521	A1	19940929	AU 1994-57521	19940303 <--
AU 675484	B2	19970206		
AT 168007	E	19980715	AT 1994-610012	19940311 <--
ES 2119124	T3	19981001	ES 1994-610012	19940311 <--
CA 2119511	AA	19940925	CA 1994-2119511	19940321 <--
CA 2119511	C	20020716		
NO 9401052	A	19940926	NO 1994-1052	19940323 <--
CN 1099391	A	19950301	CN 1994-103348	19940323 <--
CN 1057088	B	20001004		
FI 9401378	A	19940925	FI 1994-1378	19940324 <--
ZA 9402079	A	19941024	ZA 1994-2079	19940324 <--
JP 07002838	A2	19950106	JP 1994-78094	19940324 <--
JP 3466265	B2	20031110		

PRIORITY APPLN. INFO.:

DK 1993-337

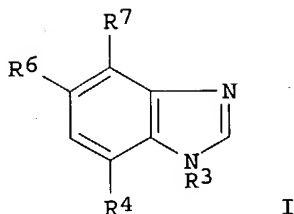
A 19930324

DK 1993-1055

A 19930921

OTHER SOURCE(S): MARPAT 122:31527

GI

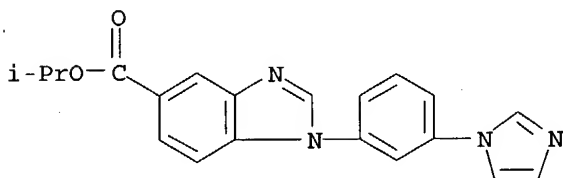


AB Benzimidazole compds. I (R3 = substituted Ph, pyridinyl, etc.; R4 = H, amino, nitro, etc.; R6, R7 = H, halo, cyano, nitro, etc.) were disclosed for the treatment of various central nervous system disorders such as epilepsy and other convulsive disorders, anxiety, sleep disorders and memory disorders.

IT 159724-95-5  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (preparation of benzimidazole derivs. GABA receptor antagonists or agonists)

RN 159724-95-5 HCAPLUS

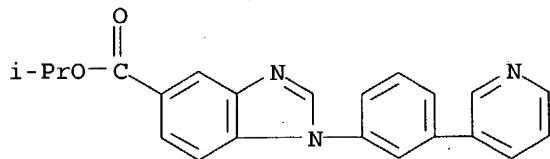
CN 1H-Benzimidazole-5-carboxylic acid, 1-[3-(1H-imidazol-1-yl)phenyl]-, 1-methylethyl ester (9CI) (CA INDEX NAME)



IT 159724-84-2P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of benzimidazole derivs. GABA receptor antagonists or agonists)

RN 159724-84-2 HCAPLUS

CN 1H-Benzimidazole-5-carboxylic acid, 1-[3-(3-pyridinyl)phenyl]-, 1-methylethyl ester (9CI) (CA INDEX NAME)



L16 ANSWER 8 OF 15 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1994:483335 HCAPLUS

DOCUMENT NUMBER: 121:83335

TITLE: Preparation of substituted benzimidazoles useful as angiotensin II receptor antagonists

INVENTOR(S): Franz, Robert G.; Weinstock, Joseph

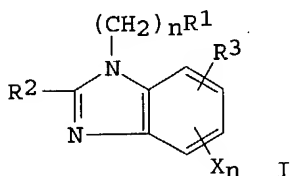
PATENT ASSIGNEE(S): SmithKline Beecham Corp., USA

SOURCE: U.S., 19 pp. Cont.-in-part of U.S. Ser No. 509,268,

abandoned.  
CODEN: USXXAM

DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 2  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5294631	A	19940315	US 1992-937885	19921013 <--
WO 9116313	A1	19911031	WO 1991-US2396	19910408 <--
W: AU, CA, JP, KR, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, NL, SE				
PRIORITY APPLN. INFO.:			US 1990-509268	19900413
			WO 1991-US2396	19910408
OTHER SOURCE(S):		MARPAT 121:83335		
GI				



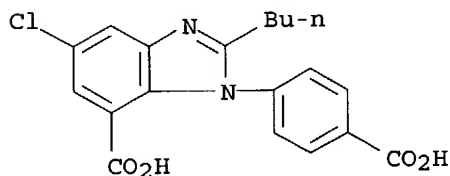
AB The preparation of title compds. I [R1 = CONHCH(Y)(CH2)naryl, CONHCH(Y)(CH2)nheteroaryl, substituted Ph, etc.; R2 = H, C2-10 alkyl, C3-10 alkenyl, C3-6 cycloalkyl, etc.; R3 = (CH2)nY, CH:CY(CH2)naryl, CH:CY(CH2)nheteroaryl, (CH2)nCONHCHY(CH2)naryl, etc.; Y = substituted carboxy, tetrazol-5-yl; X = halo, perfluoroalkyl, C1-6 alkyl, etc.; n = 0-2], useful in regulating hypertension and in the treatment of congestive heart failure, renal failure, and glaucoma, pharmaceutical compns. including these antagonists, and methods of using these compds. to produce angiotensin II receptor antagonism in mammals, is described. Thus, cyclization of 5-bromo-2-[(2-chlorophenyl)methyl-N-valeryl]amino-3-nitrobenzoic acid (preparation given) in the presence of sodium bicarbonate solution containing sodium hydrosulfite at Ph 7.1 followed by acidic workup gave title compound, 5-bromo-2-butyl-1-(2-chlorophenyl)methyl-1H-benzimidazole-7-carboxylic acid. The pharmaceutical compns. of some of the compds. prepared is given.

IT 138993-17-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of, as angiotensin II receptor antagonist)

RN 138993-17-6 HCAPLUS

CN 1H-Benzimidazole-7-carboxylic acid, 2-butyl-1-(4-carboxyphenyl)-5-chloro-(9CI) (CA INDEX NAME)



L16 ANSWER 9 OF 15 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1993:224899 HCAPLUS

DOCUMENT NUMBER: 118:224899

TITLE: Identification of oxidation products of  
5-aminosalicylic acid in feces and the study of their  
formation in vitroAUTHOR(S): Jensen, Joan; Cornett, Claus; Olsen, Carl Erik;  
Bondesen, Stig; Christensen, John; Christensen, Lisbet  
A.; Tjoernelund, Jette; Hansen, Steen HonoreCORPORATE SOURCE: Dep. Org. Chem., R. Dani. Sch. Pharm., Copenhagen,  
DK-2100, Den.SOURCE: Biochemical Pharmacology (1993), 45(6),  
1201-4

CODEN: BCPCA6; ISSN: 0006-2952

DOCUMENT TYPE: Journal

LANGUAGE: English

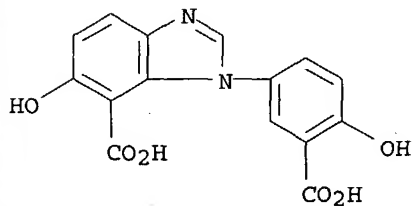
AB The formation of three oxidant-derived products of 5-aminosalicylic acid (5-ASA) in vivo was demonstrated in patients with active ulcerative colitis as well as in healthy subjects. The products were isolated from feces by preparative HPLC and their chemical structures were found to be oxidation products of 5-ASA using 1H-NMR spectroscopy and mass spectrometry. Reactions carried out in vitro between 5-ASA and oxidants suggested to be present in the inflamed bowel verified that the hypochlorite-mediated oxidation of 5-ASA as well as the Hb-catalyzed H2O2-dependent oxidation of 5-ASA resulted in the formation of a single oxidation product of 5-ASA. This product was similar to, but not identical to any of the products identified in feces from patients receiving 5-ASA. Oxygen radical-mediated oxidation of 5-ASA gave several products, different from the products isolated. Finally, it was verified that the products formed in vivo are not formed as a result of autoxidn. of 5-ASA either in feces extract or in pharmaceuticals.

IT 147396-04-1 147648-04-2

RL: FORM (Formation, nonpreparative)

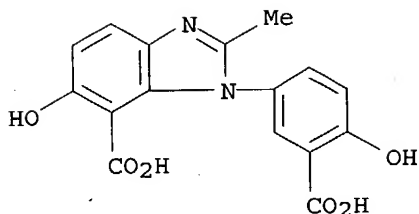
(formation of, as aminosalicylic acid metabolite, in feces, ulcerative  
colitis in relation to, in humans)

RN 147396-04-1 HCAPLUS

CN 1H-Benzimidazole-7-carboxylic acid, 1-(3-carboxy-4-hydroxyphenyl)-6-  
hydroxy- (9CI) (CA INDEX NAME)

RN 147648-04-2 HCAPLUS

CN 1H-Benzimidazole-7-carboxylic acid, 1-(3-carboxy-4-hydroxyphenyl)-6-  
hydroxy-2-methyl- (9CI) (CA INDEX NAME)



L16 ANSWER 10 OF 15 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1993:219610 HCAPLUS

DOCUMENT NUMBER: 118:219610

TITLE: Identification of major degradation products of 5-aminosalicylic acid formed in aqueous solutions and in pharmaceuticals

AUTHOR(S): Jensen, Joan; Cornett, Claus; Olsen, Carl Erik; Tjoernelund, Jette; Hansen, Steen Honore

CORPORATE SOURCE: Dep. Org. Chem., R. Dan. Sch. Pharm., Copenhagen, DK-2100, Den.

SOURCE: International Journal of Pharmaceutics (1992), 88(1-3), 177-87

CODEN: IJPHDE; ISSN: 0378-5173

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The formation of 4 major degradation products of 5-aminosalicylic acid (5-ASA) in buffered solns. at pH 7.0 was demonstrated by gradient HPLC anal. The isolation and structural elucidation of the resulting degradation products showed that the degradation of 5-ASA led to the formation of polymeric species by oxidative self-coupling of 5-ASA moieties. The degradation of 5-ASA follows the same mechanism as observed for the autoxidn. of 4-aminophenol and 1,4-phenylenediamine. Some of the identified degradation products were found in 5-ASA-containing pharmaceuticals which had not been stored as prescribed, but in diffuse daylight for up to 2 yr.

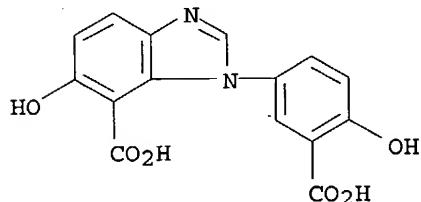
IT 147396-04-1

RL: FORM (Formation, nonpreparative)

(formation of, as aminosalicylic acid degradation product in aqueous solns. and pharmaceuticals)

RN 147396-04-1 HCAPLUS

CN 1H-Benzimidazole-7-carboxylic acid, 1-(3-carboxy-4-hydroxyphenyl)-6-hydroxy- (9CI) (CA INDEX NAME)



L16 ANSWER 11 OF 15 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1992:448550 HCAPLUS

DOCUMENT NUMBER: 117:48550

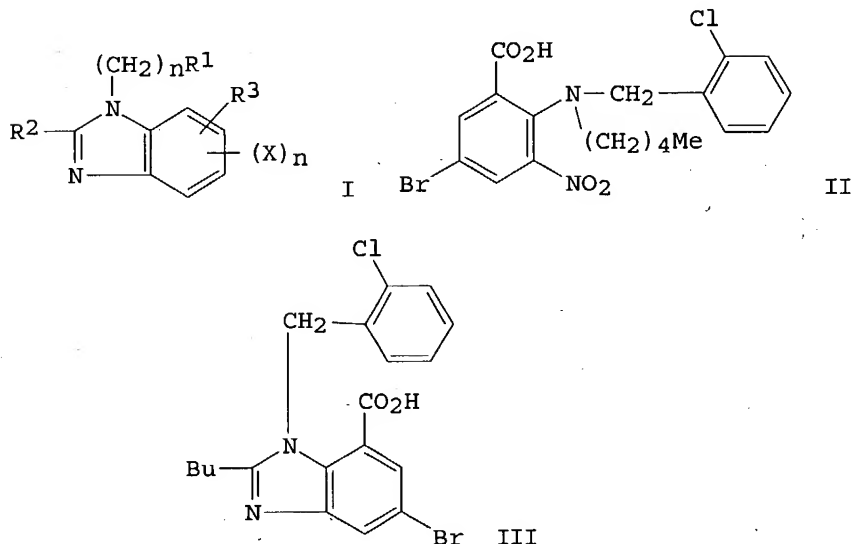
TITLE: Preparation of benzimidazoles as antihypertensives and angiotensin II receptor antagonists

INVENTOR(S): Franz, Robert Gene; Weinstock, Joseph

PATENT ASSIGNEE(S): SmithKline Beecham Corp., USA  
 SOURCE: PCT Int. Appl., 62 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9116313	A1	19911031	WO 1991-US2396	19910408 <--
W: AU, CA, JP, KR, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, NL, SE				
AU 9177595	A1	19911111	AU 1991-77595	19910408 <--
EP 525129	A1	19930203	EP 1991-919039	19910408 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
JP 05507469	T2	19931028	JP 1991-508599	19910408 <--
ZA 9102656	A	19920325	ZA 1991-2656	19910410 <--
US 5294631	A	19940315	US 1992-937885	19921013 <--
PRIORITY APPLN. INFO.:			US 1990-509268	19900413
			WO 1991-US2396	19910408

OTHER SOURCE(S): MARPAT 117:48550  
 GI



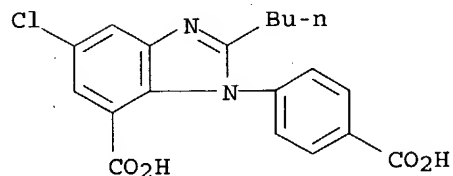
AB Benzimidazoles [I; R<sub>1</sub> = (substituted) Ph, heterocyclcyl, etc.; R<sub>2</sub> = H, C2-10 alkyl, C3-10 alkenyl, C3-6 cycloalkyl, etc.; R<sub>3</sub> = arylalkenyl, carboxyalkyl, (tetrazol-5-yl)alkyl, heterocyclcylalkenyl, etc.; n = 0-2] are prepared and formulated. A solution of benzoic acid II in THF was diluted with 5% NaHCO<sub>3</sub> and treated with NaHSO<sub>3</sub> at pH 7.1, the mixture was filtered, diluted with Et<sub>2</sub>O, the organic layer separated, concentrated, dissolved in HOAc, and heated with HCl to give 37% benzimidazole III, which showed antihypertensive activity with IC<sub>30</sub> of 32 mg/kg orally in rats.

IT 138993-17-6P 138993-18-7P

RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of, as antihypertensive and angiotensin II antagonist)

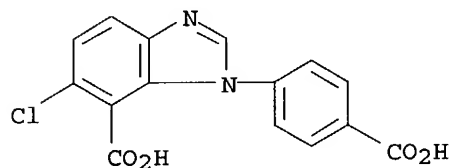
RN 138993-17-6 HCAPLUS

CN 1H-Benzimidazole-7-carboxylic acid, 2-butyl-1-(4-carboxyphenyl)-5-chloro-  
(9CI) (CA INDEX NAME)



RN 138993-18-7 HCAPLUS

CN 1H-Benzimidazole-7-carboxylic acid, 1-(4-carboxyphenyl)-6-chloro- (9CI)  
(CA INDEX NAME)



L16 ANSWER 12 OF 15 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1990:178973 HCAPLUS

DOCUMENT NUMBER: 112:178973

TITLE: Preparation of (imidazopyridylphenyl)pyridinecarboxylates as platelet activating factor antagonists

INVENTOR(S): Cooper, Kelvin; Richardson, Kenneth; Fray, Michael  
Jonathan; Steele, John

PATENT ASSIGNEE(S): Pfizer Ltd., UK

SOURCE: Eur. Pat. Appl., 49 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 310386	A2	19890405	EP 1988-309039	19880929 <--
EP 310386	A3	19891115		
EP 310386	B1	19911106		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
IL 87802	A1	19921201	IL 1988-87802	19880919 <--
ZA 8807262	A	19900530	ZA 1988-7262	19880928 <--
FI 8804471	A	19890331	FI 1988-4471	19880929 <--
FI 93444	B	19941230		
FI 93444	C	19950410		
NO 8804333	A	19890331	NO 1988-4333	19880929 <--
NO 168107	B	19911007		
NO 168107	C	19920115		
AU 8822973	A1	19890406	AU 1988-22973	19880929 <--
AU 597190	B2	19900524		
DK 8805445	A	19890511	DK 1988-5445	19880929 <--
DK 170376	B1	19950814		
HU 48872	A2	19890728	HU 1988-5063	19880929 <--
HU 201061	B	19900928		



DD 273628	A5	19891122	DD 1988-320265	19880929 <--
US 4935430	A	19900619	US 1988-251413	19880929 <--
AT 69229	E	19911115	AT 1988-309039	19880929 <--
PL 157186	B1	19920529	PL 1988-274977	19880929 <--
SU 1779250	A3	19921130	SU 1988-4356611	19880929 <--
ES 2038766	T3	19930801	ES 1988-309039	19880929 <--
JP 01113367	A2	19890502	JP 1988-247281	19880930 <--
CN 1032439	A	19890419	CN 1988-109031	19881004 <--
CN 1032648	B	19960828		
✓US 5063237	A	19911105	US 1990-517116	19900501 <--
✓US 5070205	A	19911203	US 1990-517286	19900501 <--
✓US 5120747	A	19920609	US 1990-517115	19900501 <--
✓AU 9061261	A1	19901129	AU 1990-61261	19900823 <--
✓AU 617256	B2	19911121		
NO 9100670	A	19890331	NO 1991-670	19910219 <--
NO 172182	B	19930308		
NO 172182	C	19930616		
✓US 5149814	A	19920922	US 1991-757780	19910911 <--
JP 09315973	A2	19971209	JP 1997-18645	19970131 <--
JP 2898256	B2	19990531		

## PRIORITY APPLN. INFO.:

GB 1987-22977	19870930
GB 1988-4441	19880225
EP 1988-309039	19880929
NO 1988-4333	19880929
US 1988-251413	19880929
JP 1988-247281	19880930
US 1991-517286	19910501

## OTHER SOURCE(S): MARPAT 112:178973

GI For diagram(s), see printed CA Issue.

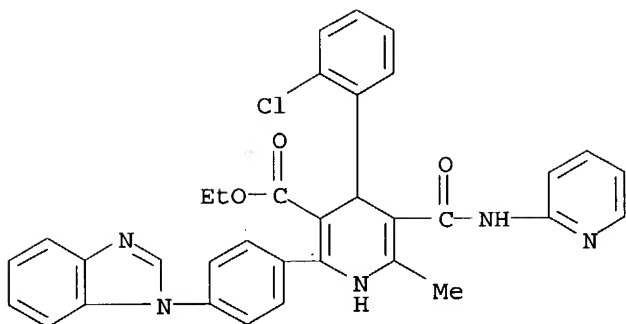
AB Title compds. I [R = (un)substituted Ph, Ph fused to a dioxolane ring; R1, R2 = H, C1-6 alkyl, R1R2N = pyrrolidinyl, piperidino, morpholino, piperazinyl, N-C1-4-alkylpiperazinyl, N-C2-4-alkanoylpiperazinyl; or R2 = H, C1-4 alkyl and R1 = CN, C3-7 cycloalkyl, aryl, heteroaryl, (un)substituted C1-4 alkyl; Z = C1-6 alkoxy, aryl-C1-4-alkoxy, OH, R4R5N; R4, R5 = H, C1-6 alkyl, or R4R5 complete a pyrrolidinyl, piperidino, morpholino, piperazinyl, N-C1-4-alkylpiperazinyl; Y = 1,4-phenylene, pyridine-2,5-diyl; X = 5-6-membered aromatic heterocyclyl containing 1 or more N, which ring may be fused to a benzene ring or further 5-6-membered aromatic heterocyclyl, etc.] and their pharmaceutically acceptable salts, are prepared as platelet activating factor (PAF) antagonists (no data). Et 4'-(2-methylimidazo[4,5-c]pyrid-1-yl)benzoylacetate (preparation given), N-(2-pyridyl)-3-aminocrotonamide and 2-ClC6H4CHO in absolute EtOH were heated under N and refluxed for 8 h to give 31% I (R = 2-ClC6H4; R1 = 2-pyridyl; R2 = H; Z = EtO; X = 2-methylimidazo[4,5-c]pyrid-1-yl; Y = 1,4-phenylene).

IT 122956-71-2P 122956-72-3P

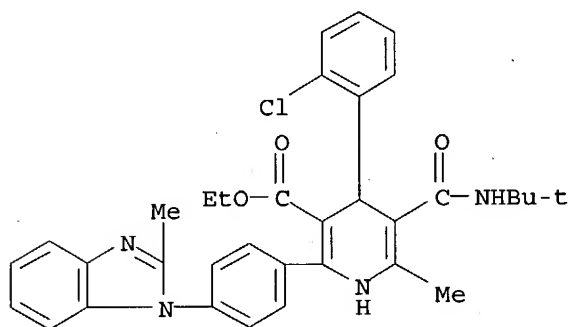
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of, as platelet activating factor antagonist)

RN 122956-71-2 HCAPLUS

CN 3-Pyridinecarboxylic acid, 2-[4-(1H-benzimidazol-1-yl)phenyl]-4-(2-chlorophenyl)-1,4-dihydro-6-methyl-5-[(2-pyridinylamino)carbonyl]-, ethyl ester (9CI) (CA INDEX NAME)



RN 122956-72-3 HCAPLUS  
 CN 3-Pyridinecarboxylic acid, 4-(2-chlorophenyl)-5-[[[(1,1-dimethylethyl)amino]carbonyl]-1,4-dihydro-6-methyl-2-[4-(2-methyl-1H-benzimidazol-1-yl)phenyl]-, ethyl ester (9CI) (CA INDEX NAME)



L16 ANSWER 13 OF 15 HCAPLUS COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 1975:429029 HCAPLUS  
 DOCUMENT NUMBER: 83:29029  
 TITLE: Selective permeable membranes  
 INVENTOR(S): Senoo, Masao; Hara, Shigeyoshi; Taketani, Yutaka  
 PATENT ASSIGNEE(S): Teijin Ltd., Japan  
 SOURCE: Jpn. Kokai Tokkyo Koho, 9 pp.  
 CODEN: JKXXAF  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 50003970	A2	19750116	JP 1973-49670	19730507 <--
JP 55048841	B4	19801209		

PRIORITY APPLN. INFO.: JP 1973-49670 19730507

AB Selective permeable membranes were prepared by casting of poly(N-arylbenzimidazole amide) solns. For example, 3-amino-4-anilinobenzoic acid [55296-17-8] and isophthaloyl chloride [99-63-8] in N-methylpyrrolidone were heated at 120° for 1.5 hr to give 2,2'-(m-phenylene)bis(1-phenylbenzimidazole-5-carboxylic acid) (I) [48238-49-9]. A solution of 27.5 g I in 60 ml. N-methylpyrrolidone at 150° was treated with 13 g 4,4'-diphenylmethane diisocyanate over 15 min, heated at the same temperature for 3 hr, and diluted with

N-methylpyrrolidone to a 15% solution. The 4,4'-diphenylmethane diisocyanate-2,2'-(m-phenylene)bis(1-phenylbenzimidazole-5-carboxylic acid) polymer [41377-01-9] solution (20 g) was mixed with 0.9 g LiCl, filtered through a filter with pore size 5 $\mu$ , cast, dried at 130° for 15 min (residual solvent 70%), and immersed in water to give 95 $\mu$ -thick membrane for reverse osmosis. 4,4'-Diphenylmethane diisocyanate-isophthalic acid-2,2'-(p-phenylene)bis(1-phenylbenzimidazole-5-carboxylic acid)polymer [55295-60-8] membrane was also prepared.

IT 41377-01-9 55295-60-8

RL: USES (Uses)

(membranes, for reverse osmosis)

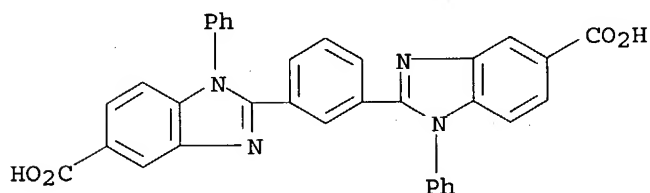
RN 41377-01-9 HCAPLUS

CN 1H-Benzimidazole-5-carboxylic acid, 2,2'-(1,3-phenylene)bis[1-phenyl-, polymer with 1,1'-methylenebis[4-isocyanatobenzene] (9CI) (CA INDEX NAME)

CM 1

CRN 48238-49-9

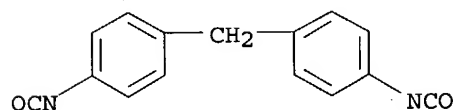
CMF C34 H22 N4 O4



CM 2

CRN 101-68-8

CMF C15 H10 N2 O2



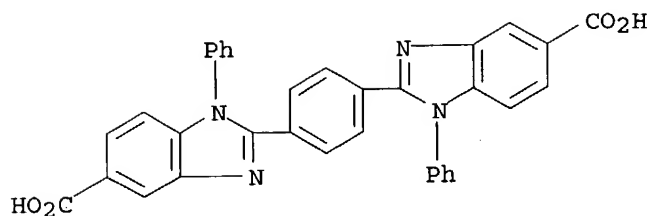
RN 55295-60-8 HCAPLUS

CN 1,3-Benzenedicarboxylic acid, polymer with 1,1'-methylenebis[4-isocyanatobenzene] and 2,2'-(1,4-phenylene)bis[1-phenyl-1H-benzimidazole-5-carboxylic acid] (9CI) (CA INDEX NAME)

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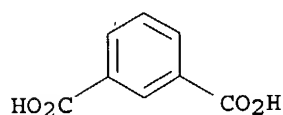
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CMF C34 H22 N4 O4



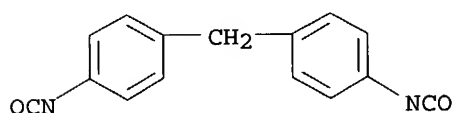
CM 2

CRN 121-91-5  
CMF C8 H6 O4



CM 3

CRN 101-68-8  
CMF C15 H10 N2 O2

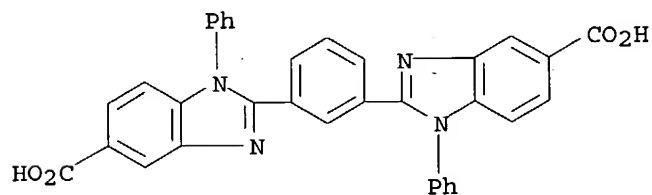


IT 48238-49-9P

RL: PREP (Preparation)  
(preparation of)

RN 48238-49-9 HCAPLUS

CN 1H-Benzimidazole-5-carboxylic acid, 2,2'-(1,3-phenylene)bis[1-phenyl-]  
(9CI) (CA INDEX NAME)



L16 ANSWER 14 OF 15 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1975:112609 HCAPLUS

DOCUMENT NUMBER: 82:112609

TITLE: Heat-resistant polymers containing benzimidazole rings

INVENTOR(S): Hara, Shigeyoshi; Senoo, Masao; Taketani, Yutaka

PATENT ASSIGNEE(S): Teijin Ltd.

SOURCE: Jpn. Kokai Tokkyo Koho, 8 pp.

DOCUMENT TYPE: CODEN: JKXXAF  
 LANGUAGE: Patent  
 FAMILY ACC. NUM. COUNT: 1 Japanese  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 49078798	A2	19740730	JP 1972-121066	19721202 <--
			JP 1972-121066	19721202

## PRIORITY APPLN. INFO.:

GI For diagram(s), see printed CA Issue.

AB Title polymers are prepared by reaction of polycarboxylic acids containing N-aryl-substituted benzimidazole rings, and optionally polyfunctional compds. containing  $\geq 2$  carboxyl, carboxylic acid anhydride and iminoacetic acid derivative groups with polyisocyanates and/or masked polyisocyanates. Thus, 27.5 g I in 60 ml dehydrated N-methylpyrrolidone was mixed with 13 g 4,4'-diphenylmethane diisocyanate at 150° for 15 min, kept at 150° for 3 hr and dried on a glass plate to give a poly(amide benzimidazole) [54545-66-3],  $[\eta] = 0.47$  (0.5 g/100 ml, N-methylpyrrolidone, 30°).

IT 54545-66-3P

RL: PEP (Physical, engineering or chemical process); PREP (Preparation);  
 PROC (Process)  
 (manufacture of, heat-resistant)

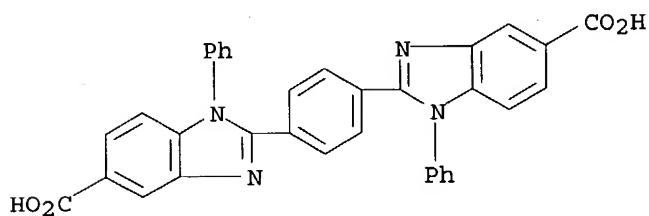
RN 54545-66-3 HCAPLUS

CN 1H-Benzimidazole-5-carboxylic acid, 2,2'-(1,4-phenylene)bis[1-phenyl-, polymer with 1,1'-methylenebis[4-isocyanatobenzene] (9CI) (CA INDEX NAME)

CM 1

CRN 54545-65-2

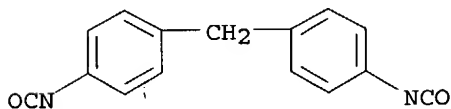
CMF C34 H22 N4 O4



CM 2

CRN 101-68-8

CMF C15 H10 N2 O2



L16 ANSWER 15 OF 15 HCAPLUS COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 1973:406400 HCAPLUS  
 DOCUMENT NUMBER: 79:6400  
 TITLE: Permselective polymeric membranes

INVENTOR(S): Senoo, Masao; Hara, Shigeyoshi; Ozawa, Shuji  
 PATENT ASSIGNEE(S): Teijin Ltd.  
 SOURCE: Ger. Offen., 77 pp.  
 CODEN: GWXXBX  
 DOCUMENT TYPE: Patent  
 LANGUAGE: German  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2244908	A1	19730329	DE 1972-2244908	19720913 <--
DE 2244908	B2	19760610		
DE 2244908	C3	19770203		
JP 48037377	A2	19730601	JP 1971-71550	19710913 <--
JP 52003906	B4	19770131		
JP 48096457	A2	19731210	JP 1972-13801	19720208 <--
JP 54019395	B4	19790714		
US-3951920	A	19760420	US 1972-288389	19720912 <--
CA 1003994	A1	19770118	CA 1972-151546	19720912 <--
BE 788751	A1	19730102	BE 1972-121959	19720913 <--
NL 7212413	A	19730315	NL 1972-12413	19720913 <--
FR 2152900	A1	19730427	FR 1972-32390	19720913 <--
IT 967423	A	19740228	IT 1972-29151	19720913 <--
GB 1401873	A	19750806	GB 1972-42578	19720913 <--
PRIORITY APPLN. INFO.:			JP 1971-71550	19710913
			JP 1972-13801	19720208

AB Membranes showing good retention of permselectivity in reverse osmosis are prepared from benzimidazole derivative polymers. Thus, a 15% solution of cyclized 2,4-diaminodiphenylamine- terephthaloyl chloride copolymer [26220-31-5] having the structure I [inherent viscosity (N-methylpyrrolidone, 30.deg.) 1.93] in N-methylpyrrolidone containing 20% (based on I) lithium chloride [7447-41-8] is dried and washed to give a 33-6  $\mu$  film containing 56% H<sub>2</sub>O and <0.003% LiCl, having H<sub>2</sub>O throughput 5.4 l./m<sup>2</sup>-hr at 150 kg/cm<sup>2</sup>, salt retention (from 0.105% solution) 95%, H<sub>2</sub>O permeability 1030. Corresponding values for a membrane similarly prepared from poly(m-phenylene isophthalamide-terephthalamide) are 2.2 (at 6.0 kg/cm<sup>2</sup>), 28%, and 420.

IT 41377-01-9

RL: USES (Uses)

(permselective membranes, for reverse osmosis)

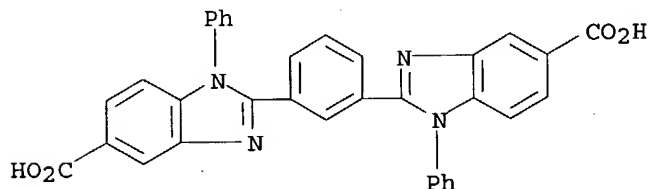
RN 41377-01-9 HCAPLUS

CN 1H-Benzimidazole-5-carboxylic acid, 2,2'-(1,3-phenylene)bis[1-phenyl-, polymer with 1,1'-methylenebis[4-isocyanatobenzene] (9CI) (CA INDEX NAME)

CM 1

CRN 48238-49-9

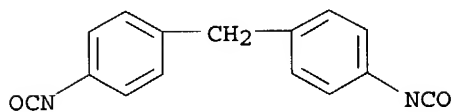
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CM 2

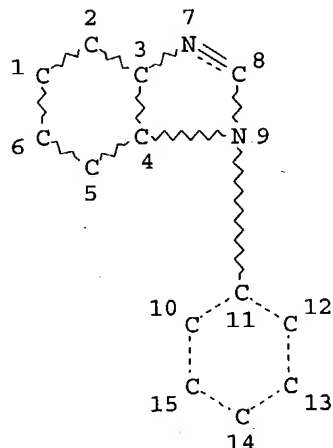
Lambkin 10\_618727

CRN 101-68-8  
CMF C15 H10 N2 O2



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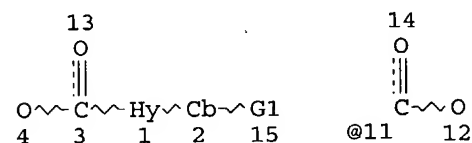
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DEFAULT ECLEVEL IS LIMITED

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NUMBER OF NODES IS 15

STEREO ATTRIBUTES: NONE  
L5 9258 SEA FILE=REGISTRY SSS FUL L3  
L13 STR



VAR G1=HY/11  
NODE ATTRIBUTES:  
DEFAULT MLEVEL IS ATOM  
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:  
RING(S) ARE ISOLATED OR EMBEDDED  
NUMBER OF NODES IS 9

STEREO ATTRIBUTES: NONE  
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L15 19 SEA FILE=HCAPLUS ABB=ON PLU=ON L14  
L16 15 SEA FILE=HCAPLUS ABB=ON PLU=ON L15 AND PD=<JUNE 22, 2000  
L17 4 SEA FILE=HCAPLUS ABB=ON PLU=ON L15 NOT L16

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L17 ANSWER 1 OF 4 HCAPLUS COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 2004:878379 HCAPLUS  
 TITLE: Preparation of benzimidazole modulators of GABAA  
 receptor complex  
 INVENTOR(S): Larsen, Janus S.; Teuber, Lene  
 PATENT ASSIGNEE(S): Neurosearch A/S, Den.  
 SOURCE: PCT Int. Appl., 41 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004089912	A1	20041021	WO 2004-EP50427	20040402
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

PRIORITY APPLN. INFO.:

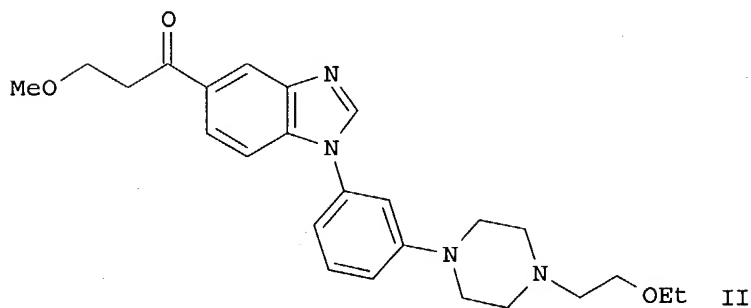
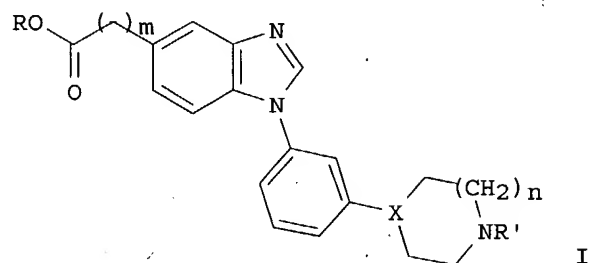
DK 2003-557

A 20030410

US 2003-461794P

P 20030411

GI



AB Title compds. represented by the formula I [wherein R = (alkoxy)alkyl, hydroxyalkyl, aminoalkyl, etc.; R' = alkoxyalkyl, alkoxyalkenyl, alkoxyalkynyl, etc.; X = N or CH; m = 0-1; n = 1-2; or N-oxides, or pharmaceutically acceptable salts thereof] were prepared as inhibitors of

3H-flunitrazepam (H3-FNM), which binds selectively and with high-affinity to the GABAA receptor-ion channel complex. For example, II was given in a multi-step synthesis starting from 4-chloro-3-nitrobenzoic acid. I were tested for inhibition of 3H-FNM binding with ED50 values of 25-75%. Thus, I and their pharmaceutical compns. are useful for as modulators of GABAA receptor complex for the treatment of treatment of central nervous system diseases and disorders, which are responsive to modulation of the GABAA receptor complex, and in particular for inducing and maintaining anesthesia, sedation and muscle relaxation, as well as for combating febrile convulsions in children, as well as veterinarians.

IT 778584-87-5P 778584-91-1P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
(preparation of benzimidazole modulators of GABAA receptor complex)

IT 778584-88-6P 778584-89-7P 778584-90-0P

778584-92-2P 778584-93-3P 778584-94-4P

778584-97-7P 778584-98-8P 778584-99-9P

778585-00-5P 778585-01-6P 778585-02-7P

778585-03-8P 778585-04-9P 778585-05-0P

778585-06-1P 778585-07-2P 778585-08-3P

778585-09-4P 778585-10-7P 778585-11-8P

778585-12-9P 778585-13-0P 778585-27-6P

778585-28-7P 778585-29-8P 778585-30-1P

778585-31-2P 778585-32-3P 778585-33-4P

778585-34-5P 778585-36-7P 778585-37-8P

778585-38-9P 778585-39-0P 778585-40-3P

778585-41-4P 778585-42-5P 778585-43-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of benzimidazole modulators of GABAA receptor complex)

IT 314060-15-6P 438632-97-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of benzimidazole modulators of GABAA receptor complex)

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L17 ANSWER 2 OF 4 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2002:513078 HCAPLUS

DOCUMENT NUMBER: 137:73258

TITLE: Benzimidazoles and VEGF receptor antagonists containing them

INVENTOR(S): Wada, Hisaya; Asanuma, Hajime; Takayama, Tetsuo; Sato, Masakazu; Yamagishi, Takehiro; Shibuya, Masashi

PATENT ASSIGNEE(S): Taisho Pharmaceutical Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 8 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent

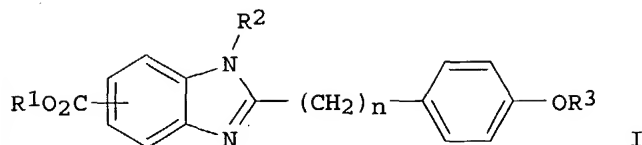
LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2002193947	A2	20020710	JP 2000-395417	20001226
PRIORITY APPLN. INFO.:			JP 2000-395417	20001226
OTHER SOURCE(S):	MARPAT 137:73258			

GI



AB Vascular endothelial growth factor receptor antagonists contain benzimidazoles I (R1 = H, C1-6 alkyl; R2 = H, C6H4CO2R4; R4 = H, C1-6 alkyl; n = 0-2) or their salts. M-H2NC6H4CO2Et was condensed with 4,3-F(O2N)C6H3CO2Me, reduced, amidated by p-C18H37OC6H4CH2CH2CO2H, and cyclized to give I (R1 = H, R2 = m-C6H4CO2H, R3 = C18H37, n = 2), which in vitro inhibited binding of VEGF with IC50 of 0.53  $\mu$ M.

IT 440362-28-7P 440362-29-8P 440362-32-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of benzimidazoles as VEGF receptor antagonists)

IT 440362-36-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of benzimidazoles as VEGF receptor antagonists)

L17 ANSWER 3 OF 4 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2002:487549 HCAPLUS

DOCUMENT NUMBER: 137:47199

TITLE: Preparation of 1-phenyl-5-benzimidazolecarboxylates for the treatment of GABAA mediated disorders

INVENTOR(S): Teuber, Lene; Waetjen, Frank

PATENT ASSIGNEE(S): Neurosearch A/S, Den.

SOURCE: PCT Int. Appl., 36 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002050057	A1	20020627	WO 2001-DK823	20011212
WO 2002050057	C1	20021024		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
AU 2002021566	A5	20020701	AU 2002-21566	20011212
PRIORITY APPLN. INFO.:			DK 2000-1914	A 20001220
			WO 2001-DK823	W 20011212
OTHER SOURCE(S):		MARPAT 137:47199		
GI				

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB Title compds. I [wherein R1 = H or Me; R3 = CO2R4 or CONR4R5; R4 and R5 = Me or Et; X = N or CH; n = 1 or 2; with 6 specific exclusions; or pharmaceutically acceptable salts thereof] were prepared as GABAA agonists. For example, cycloaddn. of 2-hydroxyethyl 3-amino-4-(3-(1-(ethoxycarbonylmethyl)piperidin-4-yl)phenylamino)benzoate (preparation given) and tri-Et orthoformate in THF at the presence of a catalytic amount of p-TsOH gave II•HCl in 58% yield after precipitation by addition of ethereal HCl. The compds. I are useful in the treatment of central nervous system diseases and disorders, which are responsive to modulation of the GABAA receptor complex, and in particular for inducing and maintaining anesthesia, sedation and muscle relaxation, as well as for combating febrile convulsions in children (no data). Preferred compds. of the invention exhibit reduced anesthetic side effects. I may also be used by veterinarians.

IT 438632-60-1P 438632-61-2P 438632-62-3P  
438632-63-4P 438632-64-5P 438632-65-6P  
438632-66-7P 438632-67-8P 438632-69-0P  
438632-94-1P 438632-95-2P 438632-96-3P  
438632-97-4P 438632-98-5P 438632-99-6P  
438633-00-2P 438633-01-3P 438633-02-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of benzimidazolecarboxylates from diaminobenzoates for the treatment of gaba-alfa mediated disorders)

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L17 ANSWER 4 OF 4 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2000:911227 HCAPLUS

DOCUMENT NUMBER: 134:56672

TITLE: Preparation of arylbenzimidazolecarboxylates as GABAA receptor complex modulators.

INVENTOR(S): Teuber, Lene; Watjen, Frank

PATENT ASSIGNEE(S): Neurosearch A/S, Den.

SOURCE: PCT Int. Appl., 107 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

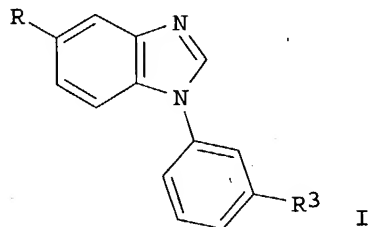
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

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WO 2000078728	A1	20001228	WO 2000-DK333	20000622
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RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
CA 2373921	AA	20001228	CA 2000-2373921	20000622
BR 2000011823	A	20020319	BR 2000-11823	20000622
EP 1194410	A1	20020410	EP 2000-938584	20000622
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, MC, IE, SI, LT, LV, FI, RO			
JP 2003502405	T2	20030121	JP 2001-504895	20000622
NZ 515543	A	20031128	NZ 2000-515543	20000622

US 2003055055	A1	20030320	US 2001-12490	20011212
US 6649609	B2	20031118		
US 2004097570	A1	20040520	US 2003-618727	20030715
PRIORITY APPLN. INFO.:			DK 1999-888	A 19990622
			WO 2000-DK333	W 20000622
			US 2001-12490	A3 20011212

OTHER SOURCE(S): MARPAT 134:56672  
GI



AB Title compds. [I; R = AqR1; A = alkylene, alkenylene, alkynylene; q = 0, 1; R1 = CO2R2, C(:XR13)R12, (substituted) heterocyclyl; R2 = H, alkyl, hydroxyalkyl, alkoxyalkyl, thioalkoxyalkyl, heterocyclylalkyl, aminoalkyl; X = N, CH; R12 = H, alkyl, alkoxy, hydroxyalkyl; R13 = H, OH, alkyl, alkoxy, hydroxyalkyl; R3 = (substituted) heterocyclyl, heterocyclylalkyl, (esterified) carboxy, carboxyalkyl], were prepared Thus, 2-methoxyethyl 3-amino-4-[3-[1-(ethoxycarbonylmethyl)-4-piperazinylmethyl]phenylamino]benzoate (preparation given) was refluxed with (EtO)3CH and p-TsOH in THF to give 64% 2-methoxyethyl 1-[3-[4-(ethoxycarbonyl)-1-piperazinylmethyl]phenyl]benzimidazole-5-carboxylate. I inhibited 3H-FNM binding to GABAA receptors with IC50 = 0.0006-0.26 µM.

IT 314059-14-8P 314059-15-9P 314059-16-0P  
314059-17-1P 314059-18-2P 314059-19-3P  
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314060-13-4P 314060-14-5P 314060-15-6P  
314060-16-7P 314060-17-8P 314060-18-9P  
314060-19-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of arylbenzimidazolecarboxylates as GABAA receptor complex modulators)

REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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E1 THROUGH E148 ASSIGNED

=> fil reg  
FILE 'REGISTRY' ENTERED AT 10:02:20 ON 14 NOV 2004  
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.  
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STRUCTURE FILE UPDATES: 12 NOV 2004 HIGHEST RN 780001-49-2  
DICTIONARY FILE UPDATES: 12 NOV 2004 HIGHEST RN 780001-49-2

TSCA INFORMATION NOW CURRENT THROUGH MAY 21, 2004

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Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:  
<http://www.cas.org/ONLINE/DBSS/registryss.html>

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FILE 'REGISTRY' ENTERED AT 10:02:20 ON 14 NOV 2004  
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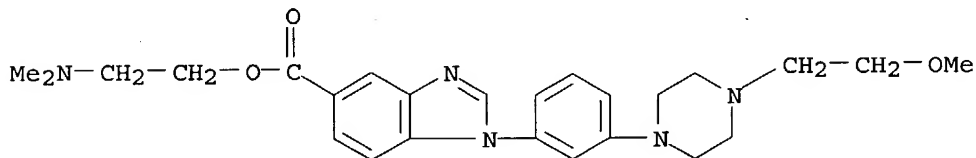
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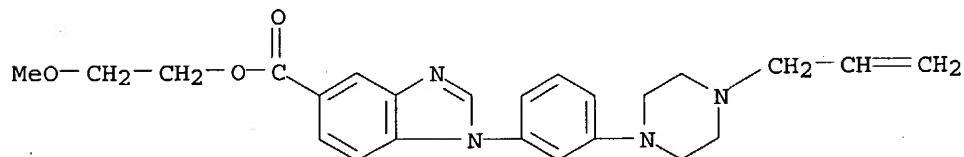
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L18 ANSWER 1 OF 148 REGISTRY COPYRIGHT 2004 ACS on STN  
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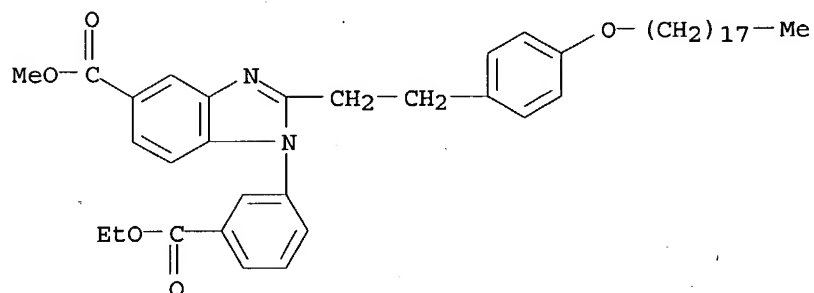
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L18 ANSWER 31 OF 148 REGISTRY COPYRIGHT 2004 ACS on STN  
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 FS 3D CONCORD  
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 LC STN Files: CAPLUS  
 DT.CA Caplus document type: Patent  
 RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)



## 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L18 ANSWER 42 OF 148 REGISTRY COPYRIGHT 2004 ACS on STN  
 RN 440362-36-7 REGISTRY  
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 MF C44 H60 N2 O5  
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 DT.CA CAplus document type: Patent  
 RL.P Roles from patents: PREP (Preparation); RACT (Reactant or reagent)

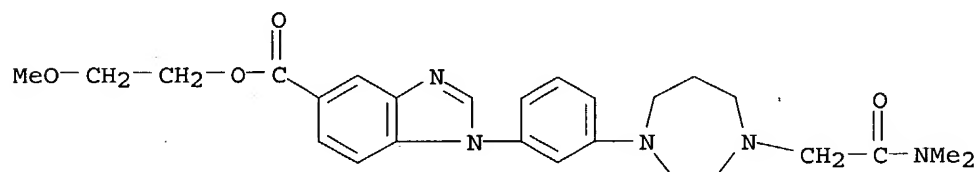


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1 REFERENCES IN FILE CA (1907 TO DATE)  
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REFERENCE 1: 137:73258

L18 ANSWER 46 OF 148 REGISTRY COPYRIGHT 2004 ACS on STN  
 RN 438633-02-4 REGISTRY  
 CN 1H-Benzimidazole-5-carboxylic acid, 1-[3-[4-[2-(dimethylamino)-2-oxoethyl]hexahydro-1H-1,4-diazepin-1-yl]phenyl]-, 2-methoxyethyl ester (9CI) (CA INDEX NAME)  
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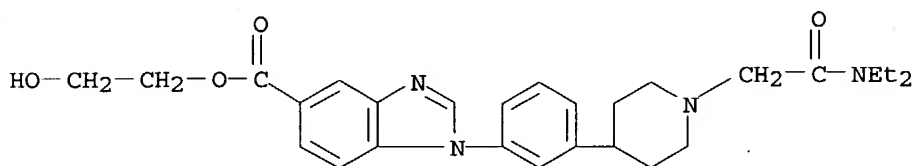
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REFERENCE 1: 137:47199

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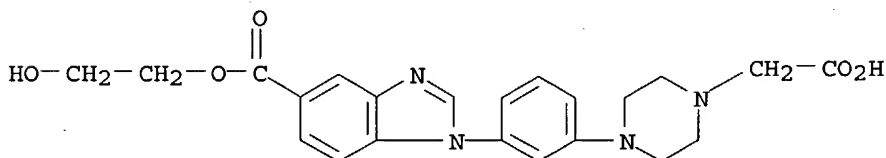


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1 REFERENCES IN FILE CA (1907 TO DATE)  
 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 137:47199

L18 ANSWER 64 OF 148 REGISTRY COPYRIGHT 2004 ACS on STN  
 RN 314060-19-0 REGISTRY  
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 RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1907 TO DATE)  
 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 134:56672

L18 ANSWER 84 OF 148 REGISTRY COPYRIGHT 2004 ACS on STN

RN 314059-99-9 REGISTRY

CN 1H-Benzimidazole-5-carboxylic acid, 1-[3-[4-[2-(1,1-dimethylethoxy)-2-oxoethyl]-1-piperazinyl]phenyl]-, 2-methoxyethyl ester (9CI) (CA INDEX NAME)

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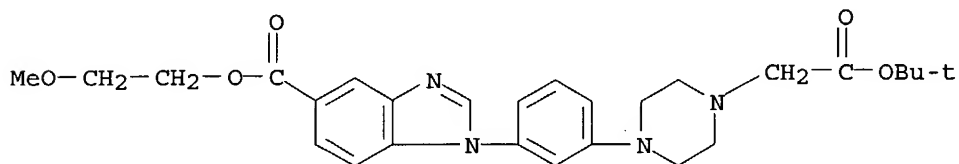
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LC STN Files: CA, CAPLUS, USPAT2, USPATFULL

DT.CA Caplus document type: Patent

RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 134:56672

L18 ANSWER 100 OF 148 REGISTRY COPYRIGHT 2004 ACS on STN

RN 314059-81-9 REGISTRY

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FS 3D CONCORD

MF C22 H20 N4 O5

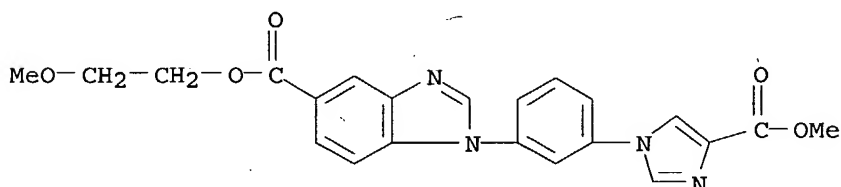
CI COM

SR CA

LC STN Files: CA, CAPLUS, USPAT2, USPATFULL

DT.CA Caplus document type: Patent

RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 134:56672

L18 ANSWER 125 OF 148 REGISTRY COPYRIGHT 2004 ACS on STN

RN 314059-39-7 REGISTRY

CN 1H-Benzimidazole-5-carboxylic acid, 1-[3-[4-(2-ethoxy-2-oxoethyl)-3,5-dimethyl-1-piperazinyl]phenyl]-, 2-methoxyethyl ester, monohydrochloride (9CI) (CA INDEX NAME)

MF C27 H34 N4 O5 . Cl H

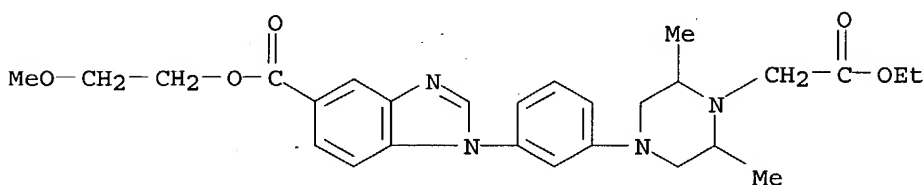
SR CA

LC STN Files: CA, CAPLUS, USPAT2, USPATFULL

DT.CA Caplus document type: Patent

RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)

CRN (314059-90-0)



● HCl

1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 134:56672

L18 ANSWER 130 OF 148 REGISTRY COPYRIGHT 2004 ACS on STN

RN 314059-34-2 REGISTRY

CN 1H-Benzimidazole-5-carboxylic acid, 1-[3-[4-[2-(phenylmethoxy)ethyl]-1-piperazinyl]phenyl]-, 2-methoxyethyl ester, monohydrochloride (9CI) (CA INDEX NAME)

MF C30 H34 N4 O4 . Cl H

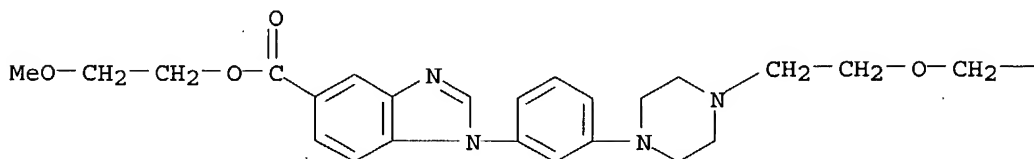
SR CA

LC STN Files: CA, CAPLUS, USPAT2, USPATFULL

DT.CA Caplus document type: Patent

RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)

CRN (314060-04-3)



● HCl

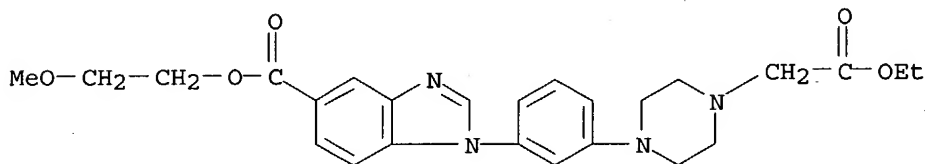
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1 REFERENCES IN FILE CA (1907 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 134:56672

L18 ANSWER 148 OF 148 REGISTRY COPYRIGHT 2004 ACS on STN  
RN 314059-14-8 REGISTRY  
CN 1H-Benzimidazole-5-carboxylic acid, 1-[3-[4-(2-ethoxy-2-oxoethyl)-1-piperazinyl]phenyl]-, 2-methoxyethyl ester, monohydrochloride (9CI) (CA INDEX NAME)  
MF C25 H30 N4 O5 . Cl H  
SR CA  
LC STN Files: CA, CAPLUS, USPAT2, USPATFULL  
DT.CA Caplus document type: Patent  
RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)  
CRN (314059-95-5)



● HCl

1 REFERENCES IN FILE CA (1907 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 134:56672

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